

What is claim d is:

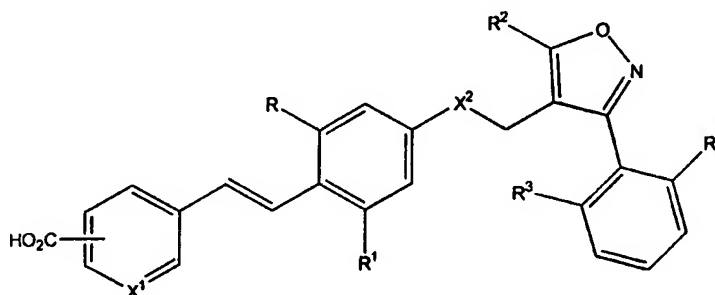
1. A method for the rapid determination of a ligand for a Farnesoid X receptor which comprises contacting a component to be tested with an isolated Farnesoid X receptor ligand binding domain which is associated with a first marking component, and a nuclear receptor coactivator peptide associated with a second marking component, and measuring the interaction between the marking components to determine whether the component to be tested modifies binding between the Farnesoid X receptor ligand binding domain and the nuclear receptor coactivator peptide.
2. The method of claim 1 wherein the nuclear receptor coactivator peptide is SEQ ID NO.:1, SEQ ID NO.:2, SEQ ID NO.:3, SEQ ID NO.:4, or SEQ ID NO.:5.
3. The method of claim 1, wherein the interaction of the marking components is measured by comparing a signal produced by a combination of the nuclear receptor coactivator peptide, the isolated nuclear receptor binding domain and the component to be tested with a signal produced by a combination of the nuclear receptor coactivator peptide and the isolated nuclear receptor ligand binding domain in the absence of the component to be tested.
4. A method of identifying compounds for the treatment of diseases or disorders modulated by FXR, comprising the step of determining whether the compound interacts directly with FXR, wherein a compound that interacts directly with FXR is a compound for the treatment.
5. A method of claim 4 wherein said step of determining comprises the step of specifically detecting the interaction of SRC-1 (LCD2, 677-697) peptide with FXR.

6. A method for the rapid determination of a ligand for Farnesoid X receptor which comprises contacting a component to be tested with an isolated nuclear receptor ligand binding domain which is associated with a first marking component, and a heterodimeric partner for the nuclear receptor ligand binding domain associated with a second marking component, and measuring the interaction between the marking components to determine whether the component to be tested modifies heterodimerization.

7. The method of claim 6 wherein the heterodimeric partner is an RXR.

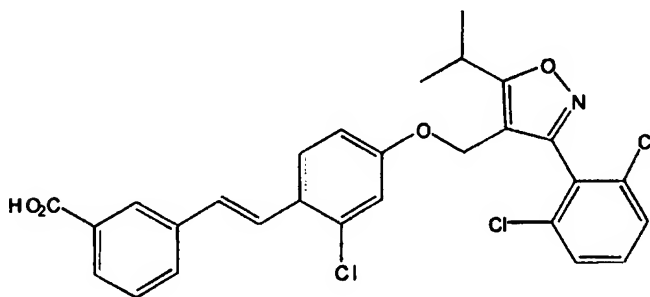
8. A compound identified by the method of any of claims 1-7.

9. A compound that binds Farnesoid X receptor wherein the compound is of the following formula:



15 wherein  $X^1$  is CH, N;  $X^2$  is O or NH; R and  $R^1$  are independently H, lower alkyl, halogen, or  $CF_3$ ;  $R^2$  is lower alkyl;  $R^3$  and  $R^4$  are independently H, lower alkyl, halogen,  $CF_3$ , OH, O-alkyl, or O-polyhaloalkyl.

10. A compound of claim 9 having the following formula:



11. A compound of claim 9 having a detectable label.
12. A method for regulating I-BABP expression in a mammal which comprises activating or inhibiting the Farnesoid X Receptor.
- 5 13. The method of claim 12, which comprises binding an activating amount of chenodeoxycholic acid to the Farnesoid X Receptor.
- 10 14. The method of claim 12, which comprises inhibiting the activation of the Farnesoid X Receptor by chenodeoxycholic acid.
- 15 15. A method of regulating the bile transport system in a mammal which comprises activating the Farnesoid X Receptor with a binding ligand.
16. The method of claim 15, wherein the binding ligand is GW4064, chenodeoxycholic acid, lithocholic acid, deoxycholic acid, or a glycine or taurine conjugated conjugate derivative thereof.
- 20 17. The method of claim 15, wherein the Farnesoid X Receptor is activated by conjugated bile acids in tissues that express bile acid transporters.
18. The method of claim 17, wherein the tissue is in the terminal ileum, liver, or kidney.
- 25 19. The method of claim 15 for regulating intestinal cholesterol absorption, regulating bile acid flux or lowering triglycerides.
- 30 20. A method of treating in a mammal a disease which is affected by cholesterol, triglyceride, or bile acid levels comprising administering to a mammal in need of such treatment a therapeutically effective amount of a ligand for Farnesoid X Receptor.

21. A method of treating atherosclerosis, gallstone disease, lipid disorders, obesity or a cardiovascular disorder, comprising administration of a therapeutically effective amount of a compound which was identified by the method of Claim 4.

22. The method of claim 21 wherein said compound is an activator or inhibitor of FXR heterodimers with the retinoid X receptor.

23. A method of blocking fatty acid absorption in intestine of a mammal in need of such blocking comprising administering to the mammal a therapeutically effective amount of a Farnesoid X receptor agonist.

24. The method of claim 23 for treatment of dyslipidemia, obesity or atherosclerosis.

25. A method of blocking protein and carbohydrate digestion in intestine of a mammal in need of such blocking comprising administering to the mammal a therapeutically effective amount of an FXR agonist.

26. The method of claim 25 for treatment of obesity.

27. A method of blocking de novo cholesterol synthesis in liver of a mammal in need of such blocking comprising administering to the mammal a therapeutically effective amount of an antagonist of FXR.

28. A method of blocking induction of SHP-1 expression in a mammal in need of such blocking comprising administering to the mammal a therapeutically effective amount of an antagonist of FXR.

29. A method of blocking SHP-1-mediated repression of CYP7A in a

mammal in need of such blocking comprising administering to the mammal a therapeutically effective amount of an antagonist of SHP-1.

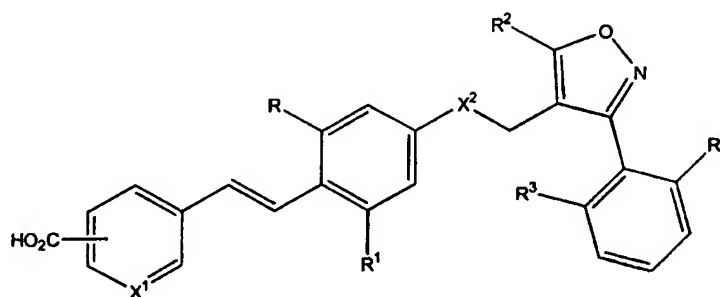
30. The method of any of claims 27-29 for reducing serum cholesterol levels in the mammal.

31. The method of any of claims 27-29 for treatment of atherosclerosis or gall stones.

32. The use of an RXR-specific ligand in treatment of a disease or disorder modulated by FXR.

33. A method of modulating a gene whose expression is regulated by FXR in a mammal comprising administering to the mammal a therapeutically effective amount of a ligand of FXR.

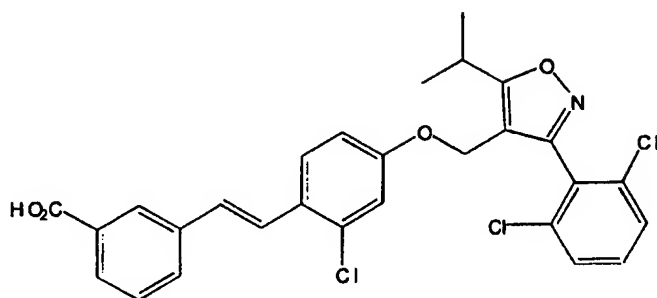
34. The method of any of claims 15, 20 or 23-33 wherein the ligand is a compound of the formula (I):



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35. The method of any of claims 15, 20 or 23-33 wherein the ligand is the following compound (Formula (II)):

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